1107

DOPAMINE RECEPTOR BINDING OF RADIOLABELED NEMONAPRIDE IN THE RESERPINIZED MICE AND RATS. K. Ishiwata, Y. Sakiyama, K. Onoguchi, H. Toyama, J. Noguchi, and M. Senda. Tokyo Metropolitan Institute of Gerontology, Tokyo, Japan.

We investigated the effect of reserpine treatment on the striatal uptake of a radiolabeled dopamine D_2 receptor ligand nemonapride (NEM, YM-09151-2). In the mice given [^{3}H]NEM, the uptake of the [^{3}H]NEM in the striatum, cortex and cerebellum was enhanced by the reserpine pretreatment. The striatal to cerebellar ratio was comparable to the striatal to cerebral ratio in each group, and neither ratio was affected by the reserpine pretreatment. In the reserpinized rats, an enhanced striatal uptake of [^{11}C]NEM was also observed by PET, but *ex vivo* autoradiography confirmed that the striatal to cerebral ratio was not affected. The results suggest that the receptor binding of NEM was not significantly influenced by reserpine-induced depletion of endogenous dopamine because of its high affinity to the receptors.

1108

DISTRIBUTION OF BENZODIAZEPINE RECEPTOR IN ALZHEIMER TYPE DEMENTIA USING C-11 FLUMAZENIL AND I-123 IOMAZENIL. *,** M.Ohyama, **M.Senda, **K.Ishiwata, **K.Ishii, **H.Toyama, **K.Oda,*M. Mishina,*S.Kitamura, *A.Terashi.*The Second Department of Int. Med., Nippon Medical School, Japan and **Positron Medical Center, Tokyo Metropolitan Institute of Gerontology, Japan.

Distribution of central benzodiazepine receptor in Alzheimer type dementia (AD) was estimated using C-11 Flumazenil (FMZ) by PET and I-123 Iomazenil (IMZ) by SPECT. In AD, while the activity in CBF and glucose metabolism was diminished in temporoparietal cortex, the delayed activity of FMZ and IMZ was relatively preserved in temporoparietal cortex compared with CBF and glucose metabolism. High correlation was found by ROI analysis between the delayed activity of FMZ and that of IMZ. This result suggests the reduction of CBF and glucose metabolism is caused by neuronal dysfunction rather than by neuronal loss in early AD.

1114

IN VIVO MEASUREMENT OF SEROTONIN TRANSPORTER WITH C-11 McN5652-X. T. Suhara, Y. Sudo, K. Suzuki, M. Sasaki, K. Yoshikawa and K. Yoshida. National Instutute of Radiological Sciences, Japan.

Serotonin transporter has been believed to be one of the important sites of action of antidepressants. Carbon-11 labeled McN5652-X has been introduced as a tracer for serotonin transporter imaging on PET. By employing C-11 McN5652-X, the distribution and characteristics of serotonin transporter in healthy human subjects were studied using the Siemens ECAT EXACT 47. PET images showed a high accumulation in the thalamus, midbrain and striatum. The thalamus to cerebellum ratio was nearly 2 at 90 min after the injection of the tracer. Pretreatment with 50 mg of the serotonin reuptake inhibitor, clomipramine, resulted in a 40-70% decrease in the thalamic uptake. A rapid and high accumulation was observed in the lung. This lung uptake was decreased by pretreatment with clomipramine. On the other hand, the uptake in the brain was increased by 20-30% after pretreatment with clomipramine. For the quantification of serotonin transporter binding in vivo, elucidation of the effect of a large amount of peripheral binding sites is necessary.

1115

SYNTHESIS OF ETHER-LINKED ANALOGS OF F-18 LABELED DIACYLGLYCEROL K. Hatano and K. Itoh, National Institute for Longevity Sciences, Aichi, JAPAN and T. Ido, CYRIC, Tohoku University, Sendai, JAPAN

Ether-linked analogs of F-18 labeled diacylglycerol were synthesized in order to improve their bioavailability *in vivo*. Compounds were designed to have long chain (C_{16}) alkyl substituent on 1-position and short alkyl or acyl (having eight carbons) group on 2-position of glycerol. Introduction of F-18 into each chain would result four labeled tracers. Labeling precursors having O-tosyl or bromo moiety as leaving group were obtained stepwise alkylations and/or acylations of 3-benzylglycerol.

Radiofluorination was carried out using [K/2.2.2][F-18]$^-$ in CH_3CN. Ether-linked diacylglycerol analogs were afforded through desbenzylation of the labeled intermediates by catalytic transfer hydrogenation using $HCOONH_4$ as well as conventional reduction with about 2 atmospheres of hydrogen.